

09/ 811,359

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS	4	OCT 30	CHEMLIST enhanced with new search and display field
NEWS	5	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS	6	NOV 10	CA/CAPLUS F-Term thesaurus enhanced
NEWS	7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	8	NOV 20	CA/CAPLUS to MARPAT accession number crossover limit increased to 50,000
NEWS	9	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS	10	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS	11	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS	12	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS	13	DEC 18	CA/CAPLUS pre-1967 chemical substance index entries enhanced with preparation role
NEWS	14	DEC 18	CA/CAPLUS patent kind codes updated
NEWS	15	DEC 18	MARPAT to CA/CAPLUS accession number crossover limit increased to 50,000
NEWS	16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS	17	DEC 27	CA/CAPLUS enhanced with more pre-1907 records
NEWS	18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	19	JAN 16	CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS	20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	22	JAN 22	CA/CAPLUS updated with revised CAS roles
NEWS	23	JAN 22	CA/CAPLUS enhanced with patent applications from India
NEWS	24	JAN 29	PHAR reloaded with new search and display fields
NEWS	25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	26	FEB 13	CASREACT coverage to be extended
NEWS	27	Feb 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	28	Feb 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	29	Feb 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS EXPRESS			NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8
NEWS X25			X.25 communication option no longer available

09/ 811,359

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:49:54 ON 26 FEB 2007

=> file reg "

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:50:04 ON 26 FEB 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0

DICTIONARY FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

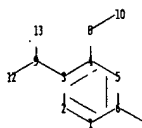
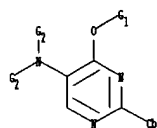
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\9811359.str

09/ 811,359



chain nodes :
7 8 9 10 12 13 15 16
ring nodes :
1 2 3 4 5 6
chain bonds :
3-9 4-8 6-7 8-10 9-12 9-13 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
3-9 4-8 8-10 9-12 9-13 15-16
exact bonds :
6-7
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1: Cy, Ak

G2: H, Cy, Ak, [*1]

Match level :

1: Atom 2: Atom 3: Atom 4: Atom 5: Atom 6: Atom 7: Atom 8: CLASS 9: CLASS 10: CLASS
12: CLASS 13: CLASS 15: CLASS 16: CLASS

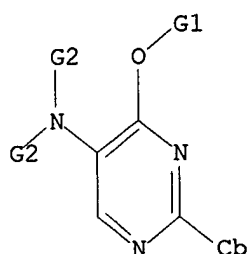
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

09/ 811,359



G1 Cy,Ak

G2 H,Cy,Ak,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sample

SAMPLE SEARCH INITIATED 10:50:43 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1869 TO ITERATE

100.0% PROCESSED 1869 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 34787 TO 39973

PROJECTED ANSWERS: 8 TO 329

L2 8 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 10:50:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 36432 TO ITERATE

100.0% PROCESSED 36432 ITERATIONS

124 ANSWERS

SEARCH TIME: 00.00.02

L3 124 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'HCAPLUS' ENTERED AT 10:50:57 ON 26 FEB 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is

09/ 811,359

strictly prohibited.

FILE COVERS 1907 - 26 Feb 2007 VOL 146 ISS 10
FILE LAST UPDATED: 25 Feb 2007 (20070225/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s 13

L4 8 L3

=> d 14 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 8 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1241187 HCAPLUS

DOCUMENT NUMBER: 144:6804

TITLE: Preparation of 4,5-disubstituted-2-aryl pyrimidines as
C5a receptor ligands

INVENTOR(S): Maynard, George D.; Ghosh, Manuka; Yuan, Jun; Currie,
Kevin S.; Mitchell, Scott; Guo, Qin; Zhao, He

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

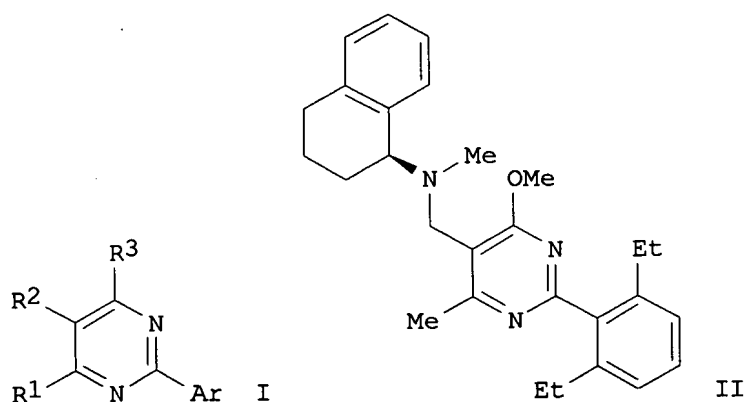
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110416	A2	20051124	WO 2005-US15897	20050506
WO 2005110416	A3	20060413		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005244104	A1	20051124	AU 2005-244104	20050506
CA 2563607	A1	20051124	CA 2005-2563607	20050506
US 2005277654	A1	20051215	US 2005-123755	20050506
EP 1745033	A2	20070124	EP 2005-746687	20050506
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-569222P	P 20040508
			US 2005-649973P	P 20050204
			WO 2005-US15897	W 20050506

OTHER SOURCE(S): MARPAT 144:6804

GI



AB Title compds. I [Ar = mono-, di-, or tri-substituted Ph, (un)substituted naphthyl or heteroaryl; R¹ = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R² = OH, CHO, (un)substituted alkyl, etc.; R³ = (un)substituted aryl, cycloalkyl, arylalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as C5a receptor ligands. Thus, e.g., II was prepared by substitution of 2,4-dichloro-5-chloromethyl-6-methylpyrimidine (preparation given) with (1S)-methyl-(1,2,3,4-tetrahydronaphthalen-1-yl)amine followed by substitution of the 4-chloro group with methanol and coupling with 2,6-diethylphenylboronic acid. Preferred compds. of the invention bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse activity at C5a receptors. I exhibited IC₅₀ values of 2 μ M or less in calcium immobilization assays. The present invention also relates to pharmaceutical compns. comprising such compds., and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled 4,5-disubstituted-2-arylpyrimidines, which are useful as probes for the localization of C5a receptors.

IT 869887-00-3P 869887-18-3P 869887-22-9P
 869887-29-6P 869887-31-0P 869887-39-8P
 869887-41-2P 869887-44-5P 869887-45-6P
 869887-46-7P 869887-47-8P 869887-48-9P
 869887-49-0P 869887-50-3P 869887-51-4P
 869887-54-7P 869887-55-8P 869887-56-9P
 869887-57-0P 869887-58-1P 869887-59-2P
 869887-60-5P 869887-61-6P 869887-63-8P
 869887-64-9P 869887-65-0P 869887-68-3P
 869887-70-7P 869887-71-8P 869887-72-9P
 869887-73-0P

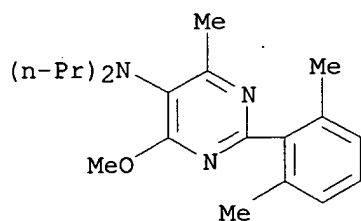
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

RN 869887-00-3 HCAPLUS

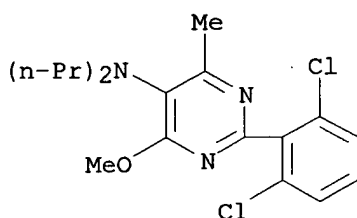
CN 5-Pyrimidinamine, 2-(2,6-dimethylphenyl)-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



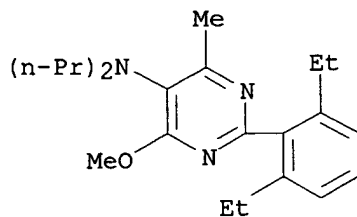
RN 869887-18-3 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-dichlorophenyl)-4-methoxy-6-methyl-N,N-dipropyl-
(9CI) (CA INDEX NAME)



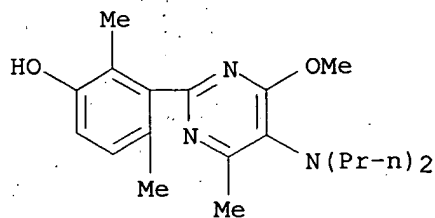
RN 869887-22-9 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methoxy-6-methyl-N,N-dipropyl-
(9CI) (CA INDEX NAME)



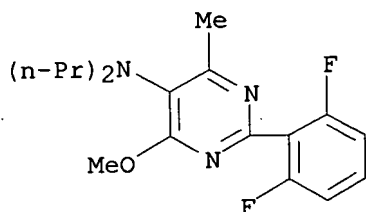
RN 869887-29-6 HCAPLUS

CN Phenol, 3-[5-(dipropylamino)-4-methoxy-6-methyl-2-pyrimidinyl]-2,4-
dimethyl- (9CI) (CA INDEX NAME)

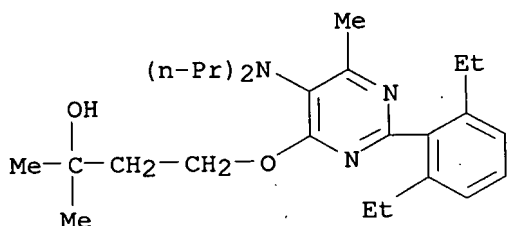


RN 869887-31-0 HCAPLUS

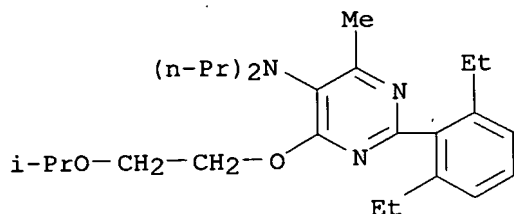
CN 5-Pyrimidinamine, 2-(2,6-difluorophenyl)-4-methoxy-6-methyl-N,N-dipropyl-
(9CI) (CA INDEX NAME)



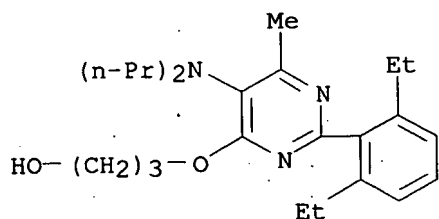
RN 869887-39-8 HCAPLUS
CN 2-Butanol, 4-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 869887-41-2 HCAPLUS
CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[2-(1-methylethoxy)ethoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)

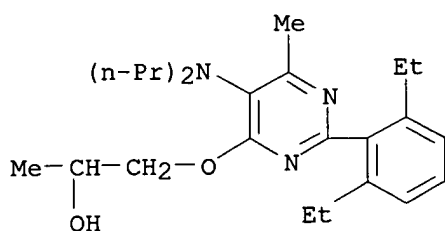


RN 869887-44-5 HCAPLUS
CN 1-Propanol, 3-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)



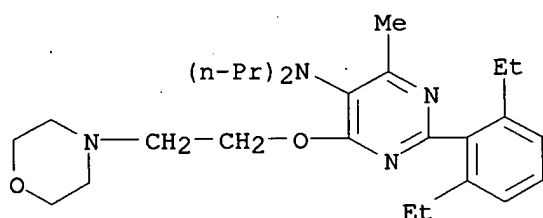
RN 869887-45-6 HCAPLUS
CN 2-Propanol, 1-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]- (9CI) (CA INDEX NAME)

09/ 811,359



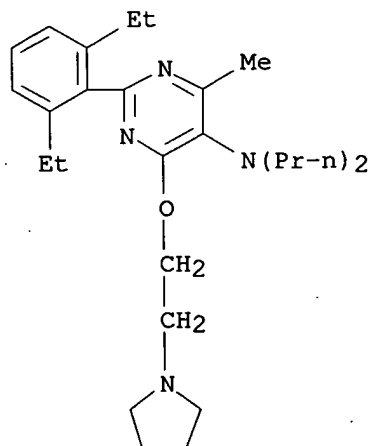
RN 869887-46-7 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[2-(4-morpholinyl)ethoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



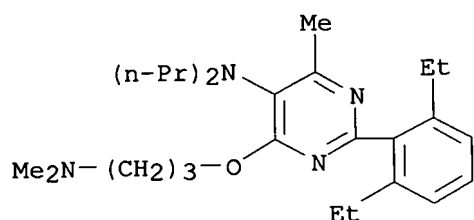
RN 869887-47-8 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-N,N-dipropyl-6-[2-(1-pyrrolidinyl)ethoxy]- (9CI) (CA INDEX NAME)

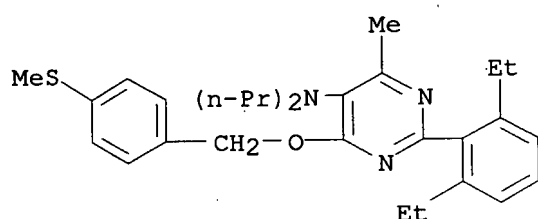


RN 869887-48-9 HCAPLUS

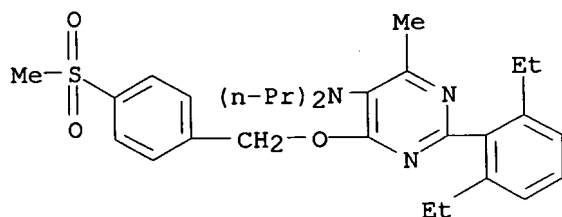
CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-[3-(dimethylamino)propoxy]-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-49-0 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[[4-(methythio)phenyl]methoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)

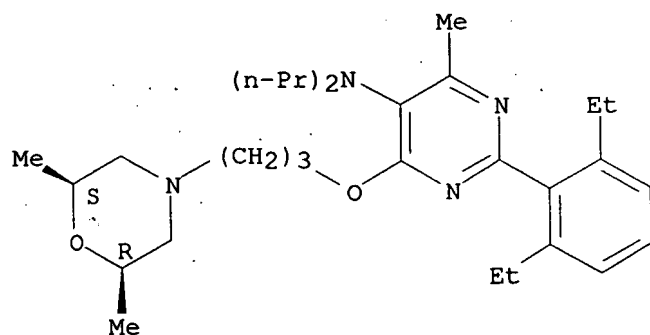


RN 869887-50-3 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[[4-(methylsulfonyl)phenyl]methoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-51-4 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propoxy]-6-methyl-N,N-dipropyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 869887-54-7 HCAPLUS

CC1=CC=C(C(=C1C2=CN(C(=N2)C3=CC=C(C=C3)C)N(C)C)OCC4CCN(CC4)Cc5ccccc5)N(C)C

RN 869887-55-8 HCAPLUS

CC1(C)OCC1COc2nc(C)c(NC3CCCC3)c4nc(C)c5cc(C)c(C)cc45

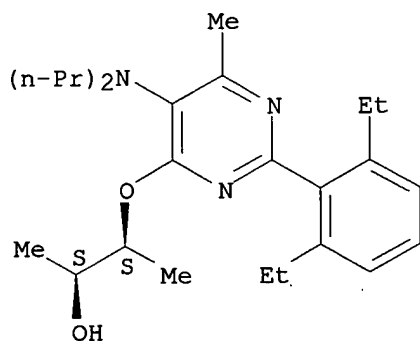
RN 869887-56-9 HCAPLUS

CCN1CCCCC1Oc2nc(C)c3cc(OC)c(C)c3n2Cn4CCCC4

RN 869887-57-0 HCAPLUS

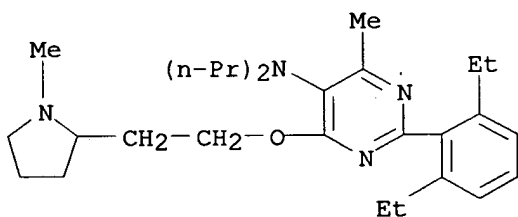
Absolute stereochemistry.

09/ 811,359



RN 869887-58-1 HCAPLUS

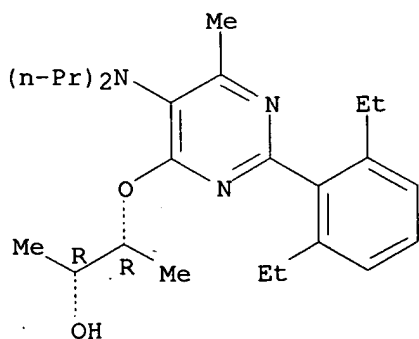
CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[2-(1-methyl-2-pyrrolidinyl)ethoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-59-2 HCAPLUS

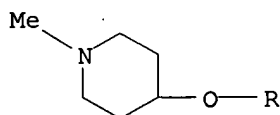
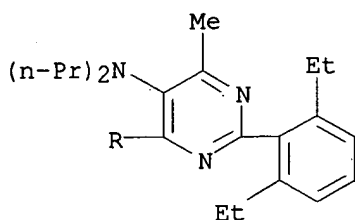
CN 2-Butanol, 3-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]-, (2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

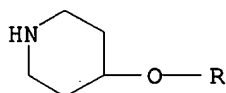
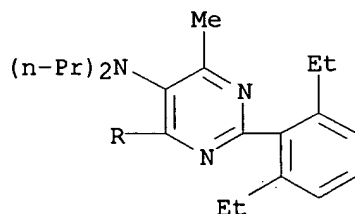


RN 869887-60-5 HCAPLUS

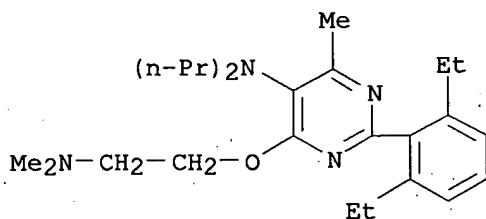
CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[(1-methyl-4-piperidinyl)oxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-61-6 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-(4-piperidinyloxy)-N,N-dipropyl- (9CI) (CA INDEX NAME)

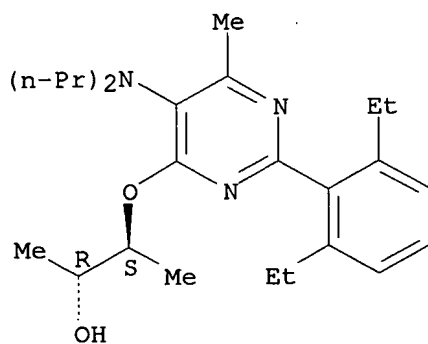


RN 869887-63-8 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-[2-(dimethylamino)ethoxy]-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-64-9 HCAPLUS
 CN 2-Butanol, 3-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]-, (2R,3S)- (9CI) (CA INDEX NAME)

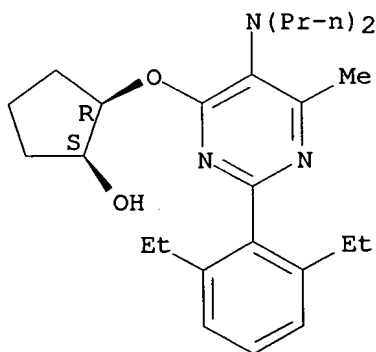
Absolute stereochemistry.



RN 869887-65-0 HCAPLUS

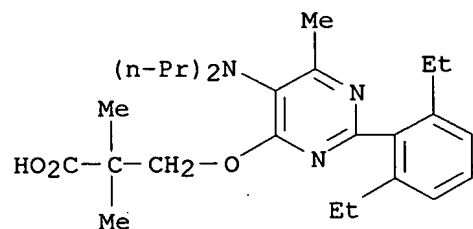
CN Cyclopentanol, 2-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]-, (1S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 869887-68-3 HCAPLUS

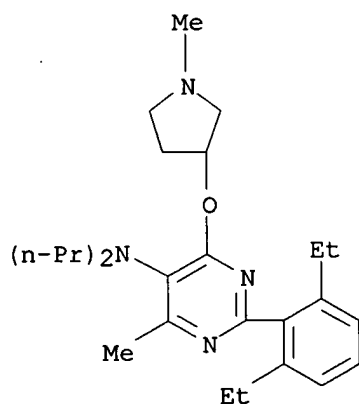
CN Propanoic acid, 3-[[2-(2,6-diethylphenyl)-5-(dipropylamino)-6-methyl-4-pyrimidinyl]oxy]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 869887-70-7 HCAPLUS

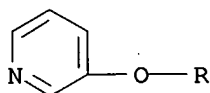
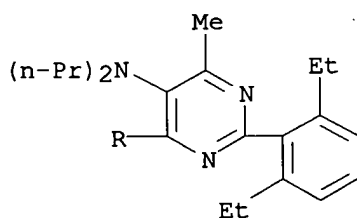
CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[(1-methyl-3-pyrrolidinyl)oxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



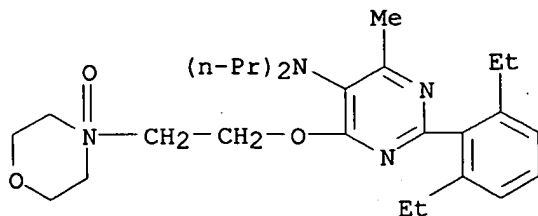
RN 869887-71-8 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-N,N-dipropyl-6-(3-pyridinyloxy)- (9CI) (CA INDEX NAME)



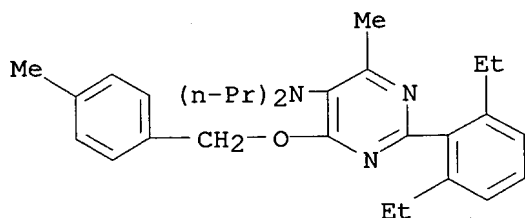
RN 869887-72-9 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[2-(4-oxido-4-morpholinyl)ethoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 869887-73-0 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-diethylphenyl)-4-methyl-6-[(4-methylphenyl)methoxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:521326 HCAPLUS

DOCUMENT NUMBER: 139:239663

TITLE: 2-Aryl-3,6-dialkyl-5-dialkylaminopyrimidin-4-ones as novel CRF-1 receptor antagonists

AUTHOR(S): Hodgetts, Kevin J.; Yoon, Taeyoung; Huang, Jianhua; Gulianello, Michael; Kieltyka, Andrzej; Primus, Renee; Brodbeck, Robbin; De Lombaert, Stephane; Doller, Dario

CORPORATE SOURCE: Neurogen Corporation, Branford, CT, 06405, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),

13(15), 2497-2500

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:239663

AB The discovery, synthesis and structure-activity studies of a novel series of 2-arylpyrimidin-4-ones as CRF-1 receptor antagonists is described. These compds. are structurally simple and display appropriate phys. properties for CNS agents.

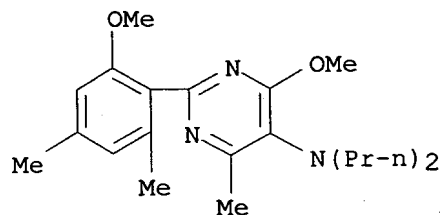
IT 360576-57-4P 360576-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, phys. properties, and biol. activity of 2-aryl-3,6-dialkyl-5-dialkylaminopyrimidin-4-ones as novel CRF-1 receptor antagonists)

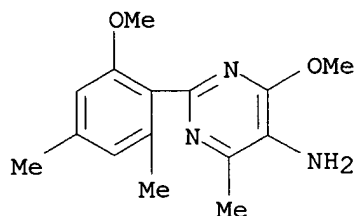
RN 360576-57-4 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-59-6 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:408655 HCAPLUS

DOCUMENT NUMBER: 137:6189

TITLE: Preparation of pyrimidine derivatives as NK1 antagonists

INVENTOR(S): Stadler, Heinz

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

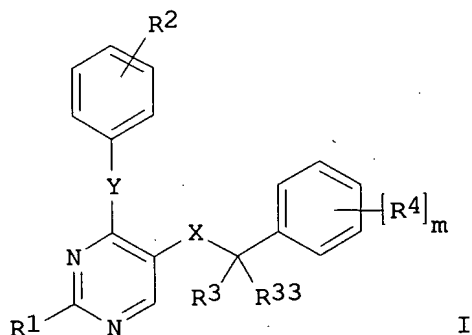
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042280	A2	20020530	WO 2001-EP13084	20011113
WO 2002042280	A3	20020822		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2002099207	A1	20020725	US 2001-977586	20011015
US 6787539	B2	20040907		
CA 2429570	A1	20020530	CA 2001-2429570	20011113
AU 2002027921	A5	20020603	AU 2002-27921	20011113
EP 1339698	A2	20030903	EP 2001-989463	20011113
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001015480	A	20031021	BR 2001-15480	20011113
HU 200303045	A2	20031229	HU 2003-3045	20011113
JP 2004514673	T	20040520	JP 2002-544415	20011113
NZ 525555	A	20041029	NZ 2001-525555	20011113
CN 1628103	A	20050615	CN 2001-819116	20011113
RU 2284997	C2	20061010	RU 2003-117481	20011113
ZA 2003003517	A	20040810	ZA 2003-3517	20030507
NO 2003002291	A	20030521	NO 2003-2291	20030521
BG 107840	A	20040130	BG 2003-107840	20030522
PRIORITY APPLN. INFO.:			EP 2000-125529	A 20001122
			WO 2001-EP13084	W 20011113
OTHER SOURCE(S):	MARPAT 137:6189			
GI				



AB The title compds. [I; R1 = alkyl, alkoxy, pyridinyl, pyrimidinyl, etc.; R2 = H, alkyl, alkoxy, halo, CF3; R3, R33 = H, alkyl; R4 = halo, CF3, alkoxy; R5 = H, alkyl; X = CONR, NRCO; Y = O, S, SO2, NR; m = 0-2] which have a good affinity to the NK1 receptor and therefore are suitable in the treatment of diseases, related to this receptor, were prepared and formulated. Thus, reacting 4-chloro-2-methylsulfanylpurimidine-5-carboxylic acid Et ester with o-cresol in the presence of Cs2CO3 in MeCN (99%) followed by saponification (47%), and amidation of the resulting acid with

[3,5-bis(trifluoromethyl)benzyl]methylamine (96%) afforded I [R1 = SMe; R2 = 2-Me; R3, R33 = H; R4 = 3,5-(CF3)2; Y = O; X = CONMe] which showed pKi of 7.38 against NK-1 receptor binding.

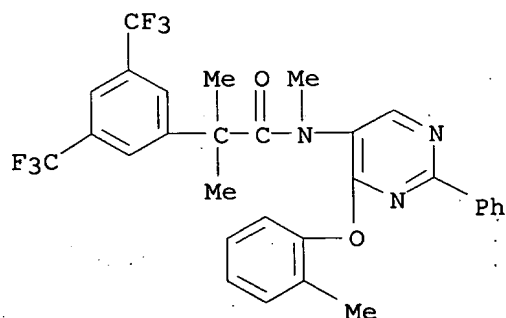
IT 432521-49-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine derivs. as NK1 antagonists)

RN 432521-49-8 HCAPLUS

CN Benzeneacetamide, N,α,α-trimethyl-N-[4-(2-methylphenoxy)-2-phenyl-5-pyrimidinyl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



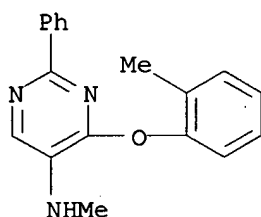
IT 432521-73-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as NK1 antagonists)

RN 432521-73-8 HCAPLUS

CN 5-Pyrimidinamine, N-methyl-4-(2-methylphenoxy)-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:72057 HCAPLUS

DOCUMENT NUMBER: 136:134771

TITLE: Preparation of 5-substituted 2-aryl-4-pyrimidinones as selective modulators of CRF 1 receptors

INVENTOR(S): Hodgetts, Kevin J.; Doller, Dario

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006242	A2	20020124	WO 2001-US22513	20010718
WO 2002006242	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2416248	A1	20020124	CA 2001-2416248	20010718
US 2002052387	A1	20020502	US 2001-908444	20010718
US 6943173	B2	20050913		
EP 1301490	A2	20030416	EP 2001-958986	20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504302	T	20040212	JP 2002-512146	20010718
US 2005234051	A1	20051020	US 2005-147895	20050607
US 7169790	B2	20070130		

PRIORITY APPLN. INFO.:

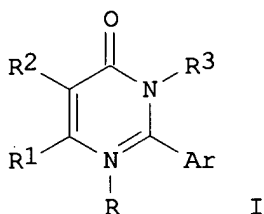
US 2000-219703P P 20000718

US 2001-908444 A1 20010718

WO 2001-US22513 W 20010718

OTHER SOURCE(S): MARPAT 136:134771

GI



AB The title compds. [I; Ar = (un)substituted carbocyclic aryl, heteroaryl; R = O, Me, absent; R1 = H, halo, CN, etc.; R2 = alkyl, alkoxy, cycloalkyl, etc.; R3 = H, alkyl, alkoxy, (di)alkylamino, etc.; provided that R1 is not H, alkyl, or CF3 when R2 = H, alkyl, alkenyl] that act as selective modulators of CRF 1 receptors, and therefore are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders, were prepared E.g., a multi-step synthesis of I [Ar = 2-MeO-4,6-Me2C6H2; R1 = Me; R2 = NPr2; R3 = Me] was given. The exemplified compds. I showed IC50 of $\leq 4 \mu\text{M}$ in assay for CRF1 receptor binding activity. Compds. I are also useful as probes for the localization of CRF receptors and as stds. in assays for CRF receptor binding. Methods of using the compds. I in receptor localization studies are given.

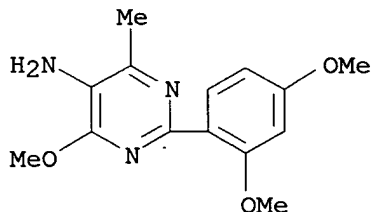
IT 391936-67-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 5-substituted 2-aryl-4-pyrimidinones as selective modulators of CRF 1 receptors)

RN 391936-67-7 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,4-dimethoxyphenyl)-4-methoxy-6-methyl- (9CI) (CA INDEX NAME)



IT 360576-57-4P 360576-59-6P 391936-62-2P

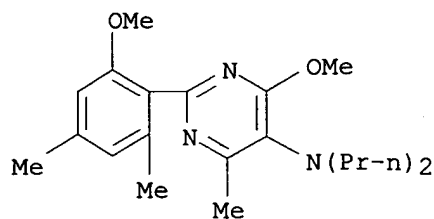
391936-63-3P 391936-64-4P 391936-65-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP. (Preparation); RACT (Reactant or reagent)

(preparation of 5-substituted 2-aryl-4-pyrimidinones as selective modulators of CRF 1 receptors)

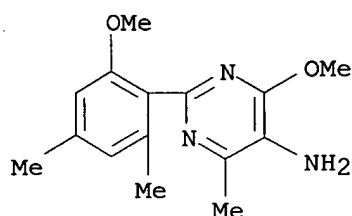
RN 360576-57-4 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



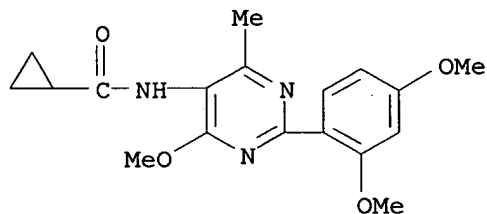
RN 360576-59-6 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-
(9CI) (CA INDEX NAME)



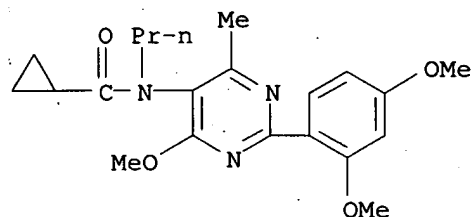
RN 391936-62-2 HCAPLUS

CN Cyclopropanecarboxamide, N-[2-(2,4-dimethoxyphenyl)-4-methoxy-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



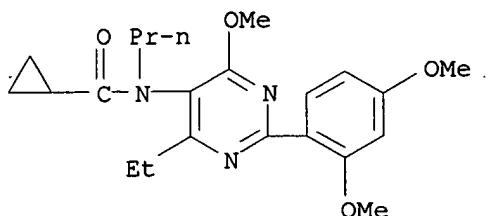
RN 391936-63-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[2-(2,4-dimethoxyphenyl)-4-methoxy-6-methyl-5-pyrimidinyl]-N-propyl- (9CI) (CA INDEX NAME)



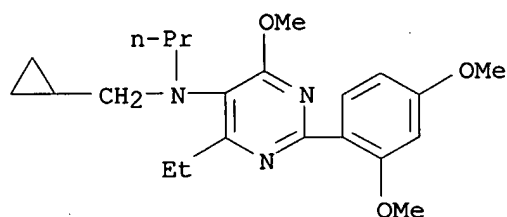
RN 391936-64-4 HCAPLUS

CN Cyclopropanecarboxamide, N-[2-(2,4-dimethoxyphenyl)-4-ethyl-6-methoxy-5-pyrimidinyl]-N-propyl- (9CI) (CA INDEX NAME)



RN 391936-65-5 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-(2,4-dimethoxyphenyl)-4-ethyl-6-methoxy-N-propyl- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:693291 HCAPLUS

DOCUMENT NUMBER: 135:242241

TITLE: 5-Substituted arylpyrimidines as selective modulators of CRF receptors

INVENTOR(S): Yoon, Taeyound; Delombaert, Stephane; Hodgetts, Kevin; Doller, Dario

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068614	A2	20010920	WO 2001-US8321	20010316
WO 2001068614	A3	20020606		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2373411	A1	20010920	CA 2001-2373411	20010316
US 2002072521	A1	20020613	US 2001-811359	20010316
EP 1233949	A2	20020828	EP 2001-916687	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003527377	T	20030916	JP 2001-567707	20010316
NZ 515409	A	20040130	NZ 2001-515409	20010316
CN 1636000	A	20050706	CN 2001-801262	20010316

PG Pub.

PRIORITY APPLN. INFO.:

US 2000-189774P	P 20000316
US 2000-206454P	P 20000522
WO 2001-US8321	W 20010316

OTHER SOURCE(S): MARPAT 135:242241

AB 2-Ar-4-R1-5-R2-6-R3pyrimidines (I, or a pharmaceutically acceptable salt thereof) are provided that can act as selective modulators of CRF receptors. In I: Ar is Ph, 1- or 2-naphthyl, each of which is mono, di, or trisubstituted or mono, di, or trisubstituted heteroaryl having from .apprx.5 to .apprx.7 ring members and 1 to .apprx.4 heteroatoms in the ring, the heteroatoms independently = N, O and S; R1 and R3 independently = H, halogen, cyano, nitro, alkyl, alkenyl, alkynyl, alkoxy, (cycloalkyl)alkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, or mono- or dialkylcarboxamide, with the proviso that R1 and R3 are not both H; and R2 is alkyl, alkenyl, alkynyl, alkoxy, aminoalkyl, mono or dialkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, mono or dialkylcarboxamide, carbocyclic aryl or heteroaryl having from 1 to 3 rings, and 3 to 8 ring members in each ring and 1 to .apprx.3 heteroatoms. These compds. are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders. Methods of treatment of such disorders as well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of CRF receptors and as stds. in assays for CRF receptor binding. Methods of using the compds. in receptor localization studies are given. Compds. of the invention do not exhibit activity as sodium ion channel blockers. They do exhibit in vitro t1/2 values of greater than 10 min and less than 4 h. MDCK toxicity was also measured. Six example preps. are included, but the methods of preparation are not claimed.

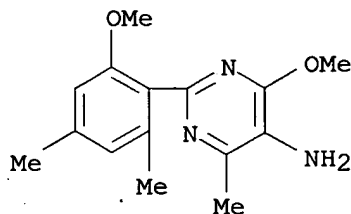
IT 360576-59-6P 360576-61-0P 360576-62-1P
360576-63-2P 360576-67-6P 360576-79-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 5-substituted arylpyrimidines as selective modulators of CRF receptors)

RN 360576-59-6 HCAPLUS

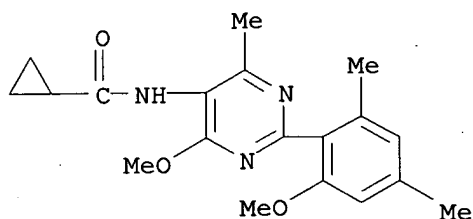
CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl- (9CI) (CA INDEX NAME)



RN 360576-61-0 HCAPLUS

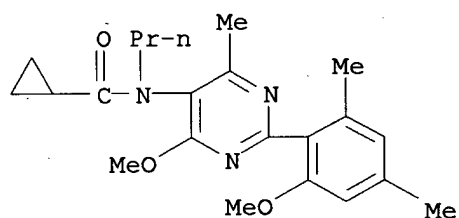
CN Cyclopropanecarboxamide, N-[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

09/ 811,359



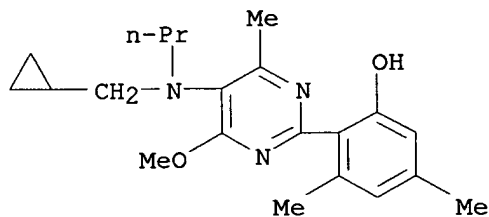
RN 360576-62-1 HCAPLUS

CN Cyclopropanecarboxamide, N-[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]-N-propyl- (9CI) (CA INDEX NAME)



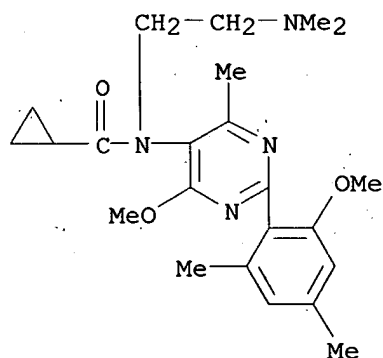
RN 360576-63-2 HCAPLUS

CN Phenol, 2-[5-[(cyclopropylmethyl)propylamino]-4-methoxy-6-methyl-2-pyrimidinyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 360576-67-6 HCAPLUS

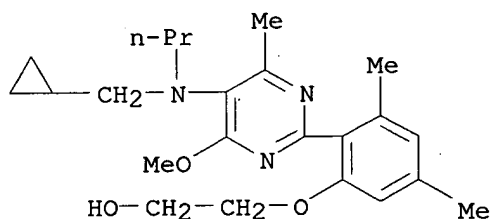
CN Cyclopropanecarboxamide, N-[2-(dimethylamino)ethyl]-N-[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



09/ 811,359

RN 360576-79-0 HCAPLUS

CN Ethanol, 2-[2-[5-[(cyclopropylmethyl)propylamino]-4-methoxy-6-methyl-2-pyrimidinyl]-3,5-dimethylphenoxy]- (9CI) (CA INDEX NAME)



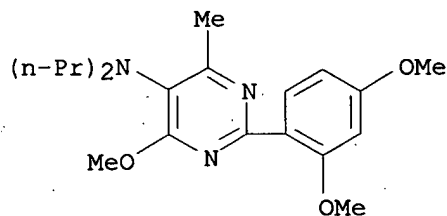
IT 360576-55-2P 360576-57-4P 360576-60-9P
360576-64-3P 360576-65-4P 360576-66-5P
360576-68-7P 360576-69-8P 360576-70-1P
360576-71-2P 360576-72-3P 360576-73-4P
360576-74-5P 360576-75-6P 360576-76-7P
360576-77-8P 360576-78-9P 360576-80-3P
360576-81-4P 360576-82-5P 360576-83-6P
360576-84-7P 360576-85-8P 360576-86-9P
360576-87-0P 360576-88-1P 360576-89-2P
360576-90-5P 360576-91-6P 360576-92-7P
360576-94-9P 360576-95-0P 360576-96-1P
360576-97-2P 360576-98-3P 360576-99-4P
360577-00-0P 360577-01-1P 360577-02-2P
360577-03-3P 360577-04-4P 360577-05-5P
360577-06-6P 360577-07-7P 360577-08-8P
360577-09-9P 360577-10-2P 360577-11-3P
360577-12-4P 360577-13-5P 360577-14-6P
360577-15-7P 360577-16-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-substituted arylpyrimidines as selective modulators of CRF receptors)

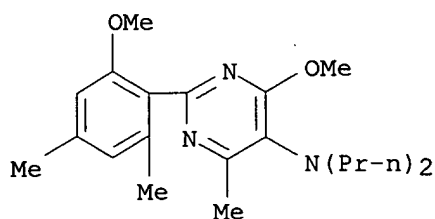
RN 360576-55-2 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,4-dimethoxyphenyl)-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



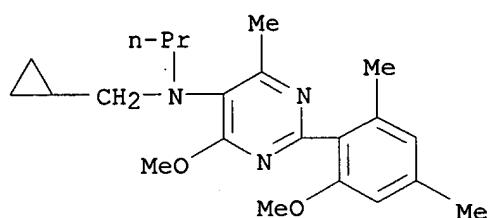
RN 360576-57-4 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



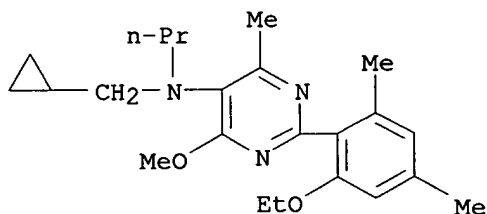
RN 360576-60-9 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



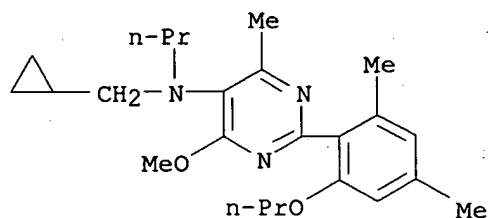
RN 360576-64-3 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-(2-ethoxy-4,6-dimethylphenyl)-4-methoxy-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



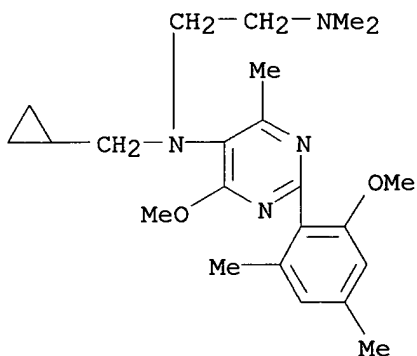
RN 360576-65-4 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-(2,4-dimethyl-6-propoxyphenyl)-4-methoxy-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



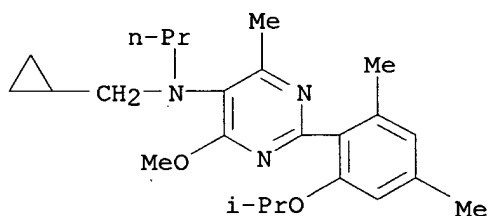
RN 360576-66-5 HCAPLUS

CN 1,2-Ethanediamine, N-(cyclopropylmethyl)-N-[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



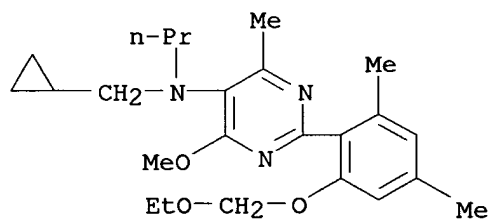
RN 360576-68-7 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-[2,4-dimethyl-6-(1-methylethoxy)phenyl]-4-methoxy-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



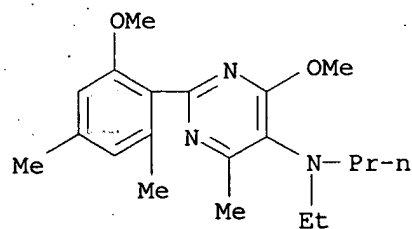
RN 360576-69-8 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-[2-(ethoxymethoxy)-4,6-dimethylphenyl]-4-methoxy-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 360576-70-1 HCAPLUS

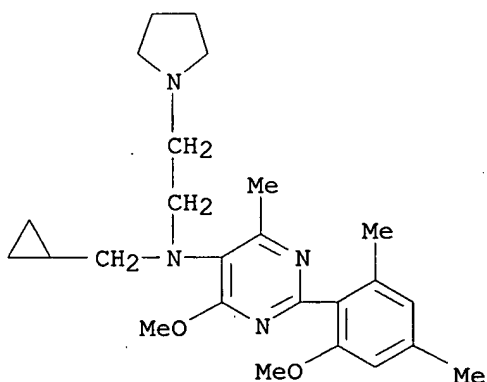
CN 5-Pyrimidinamine, N-ethyl-4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 360576-71-2 HCAPLUS

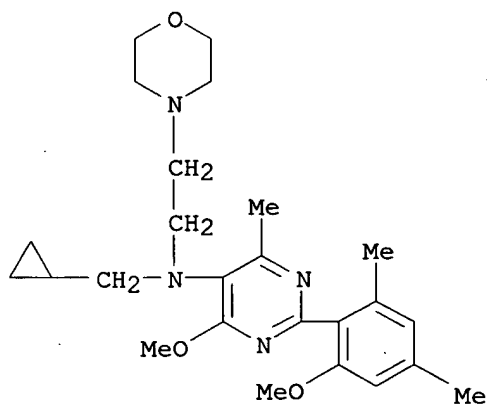
09/ 811,359

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



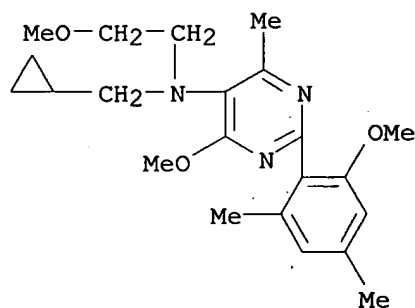
RN 360576-72-3 HCAPLUS

CN 4-Morpholineethanamine, N-(cyclopropylmethyl)-N-[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 360576-73-4 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-N-(2-methoxyethyl)-6-methyl- (9CI) (CA INDEX NAME)

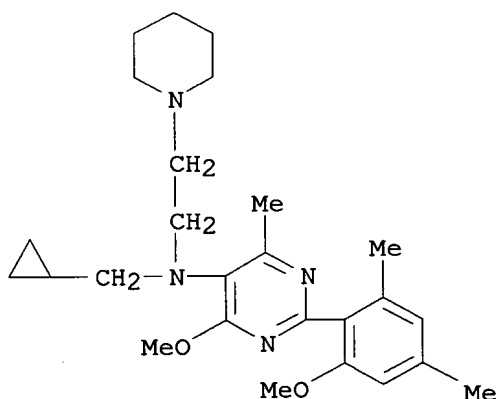


RN 360576-74-5 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-4-methoxy-2-(2-methoxy-4,6-

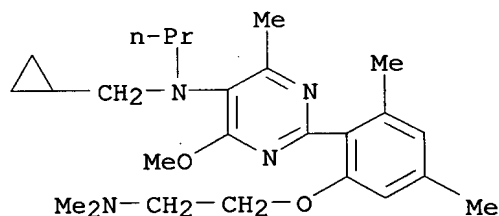
09/ 811,359

dimethylphenyl)-6-methyl-N-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



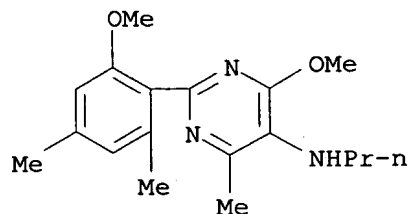
RN 360576-75-6 HCAPLUS

CN 5-Pyrimidinamine, N-(cyclopropylmethyl)-2-[2-[2-(dimethylamino)ethoxy]-4,6-dimethylphenyl]-4-methoxy-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 360576-76-7 HCAPLUS

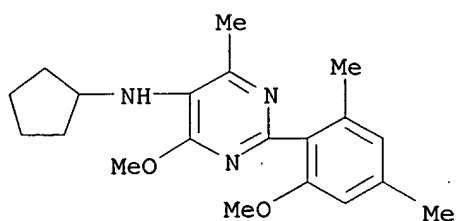
CN 5-Pyrimidinamine, 4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N-propyl- (9CI) (CA INDEX NAME)



RN 360576-77-8 HCAPLUS

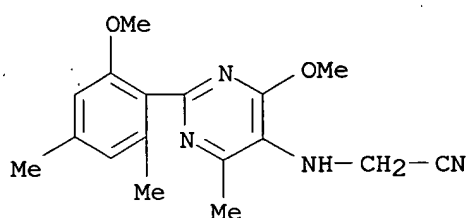
CN 5-Pyrimidinamine, N-cyclopentyl-4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl- (9CI) (CA INDEX NAME)

09/ 811,359



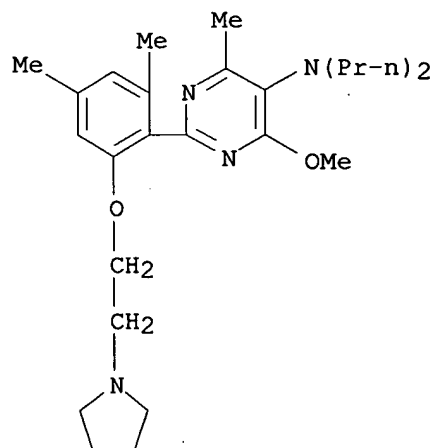
RN 360576-78-9 HCAPLUS

CN Acetonitrile, [[4-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-5-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



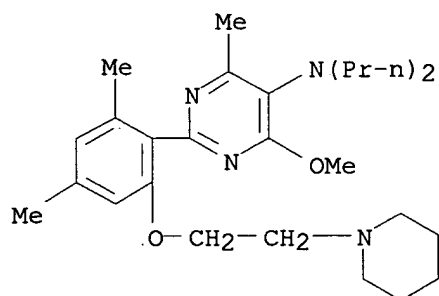
RN 360576-80-3 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



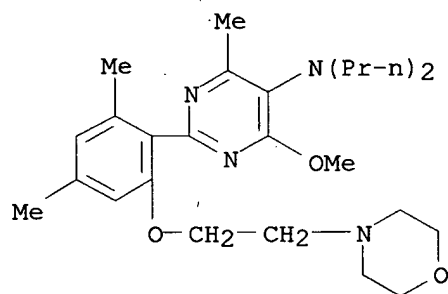
RN 360576-81-4 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(1-piperidinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



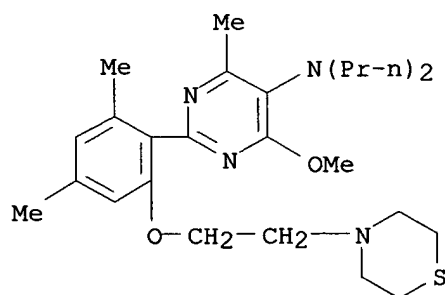
RN 360576-82-5 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(4-morpholinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-83-6 HCAPLUS

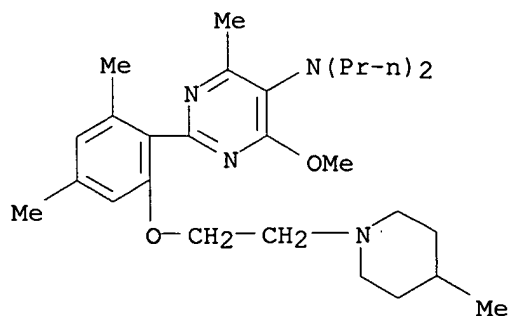
CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(4-thiomorpholinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-84-7 HCAPLUS

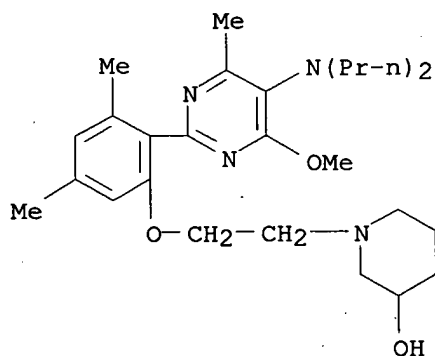
CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(4-methyl-1-piperidinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



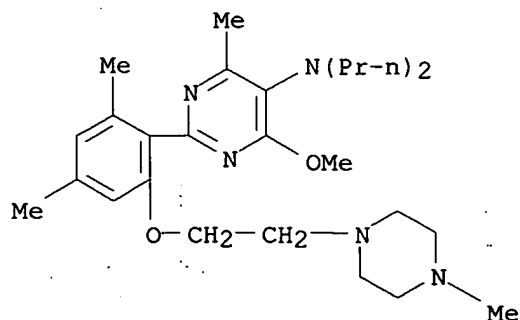
RN 360576-85-8 HCAPLUS

CN 3-Piperidinol, 1-[2-[2-[5-(dipropylamino)-4-methoxy-6-methyl-2-pyrimidinyl]-3,5-dimethylphenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 360576-86-9 HCAPLUS

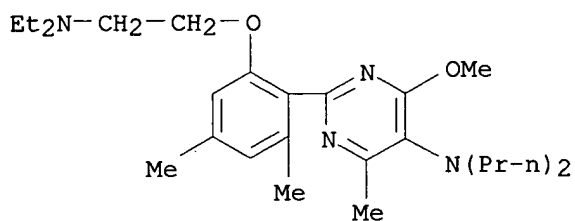
CN 5-Pyrimidinamine, 2-[2-[2-(4-methyl-1-piperazinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-87-0 HCAPLUS

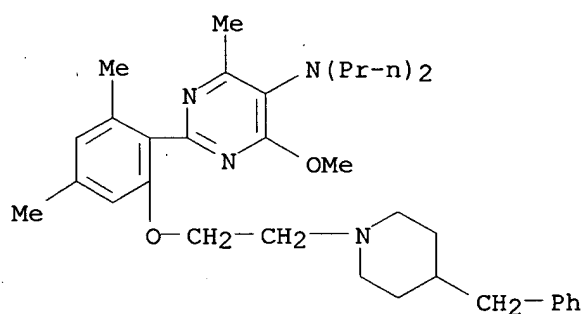
CN 5-Pyrimidinamine, 2-[2-[2-(diethylamino)ethoxy]-4,6-dimethylphenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



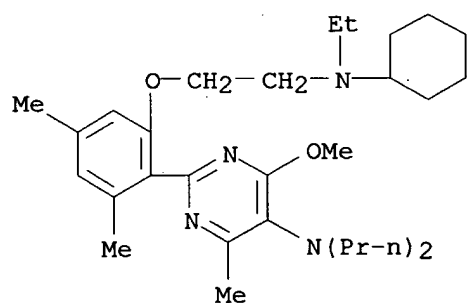
RN 360576-88-1 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-[4-(phenylmethyl)-1-piperidinyl]ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-89-2 HCAPLUS

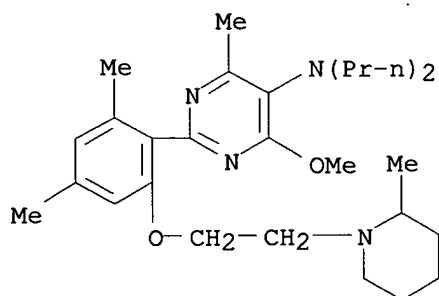
CN 5-Pyrimidinamine, 2-[2-[2-(cyclohexylethylamino)ethoxy]-4,6-dimethylphenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-90-5 HCAPLUS

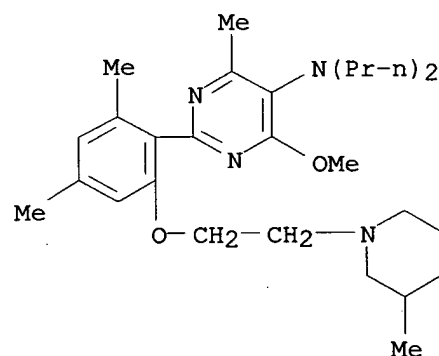
CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(2-methyl-1-piperidinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



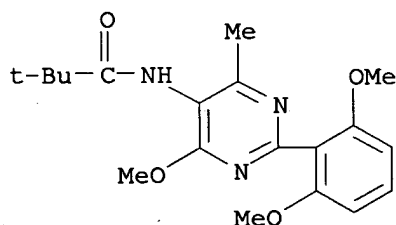
RN 360576-91-6 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(3-methyl-1-piperidinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-92-7 HCAPLUS

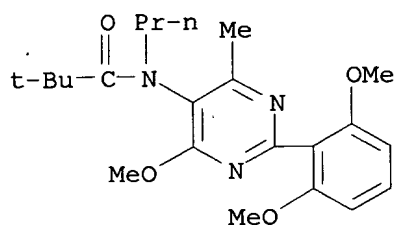
CN Propanamide, N-[2-(2,6-dimethoxyphenyl)-4-methoxy-6-methyl-5-pyrimidinyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 360576-94-9 HCAPLUS

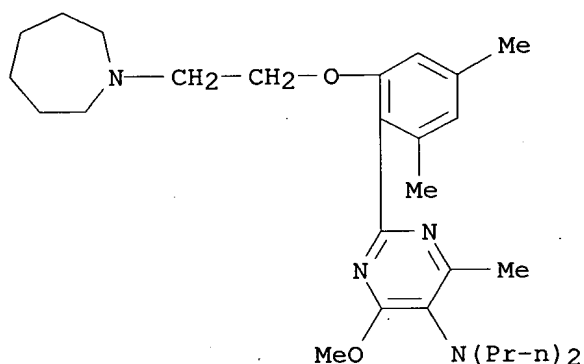
CN Propanamide, N-[2-(2,6-dimethoxyphenyl)-4-methoxy-6-methyl-5-pyrimidinyl]-2,2-dimethyl-N-propyl- (9CI) (CA INDEX NAME)

09/ 811,359



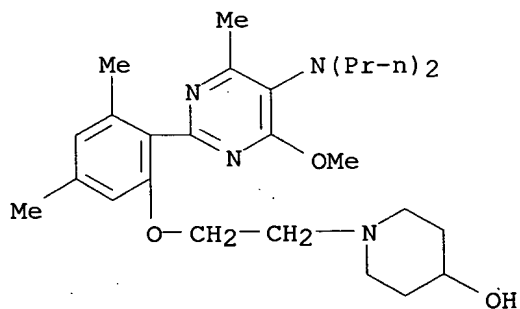
RN 360576-95-0 HCAPLUS

CN 5-Pyrimidinamine, 2-[2-[2-(hexahydro-1H-azepin-1-yl)ethoxy]-4,6-dimethylphenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-96-1 HCAPLUS

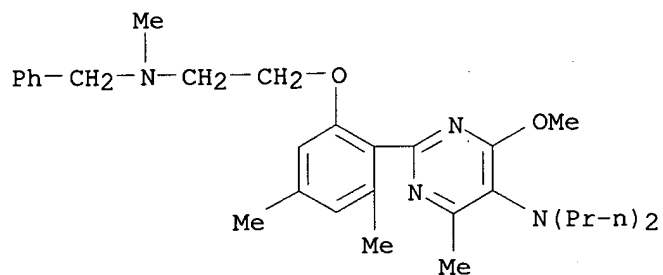
CN 4-Piperidinol, 1-[2-[2-[5-(dipropylamino)-4-methoxy-6-methyl-2-pyrimidinyl]-3,5-dimethylphenoxy]ethyl]- (9CI) (CA INDEX NAME)



RN 360576-97-2 HCAPLUS

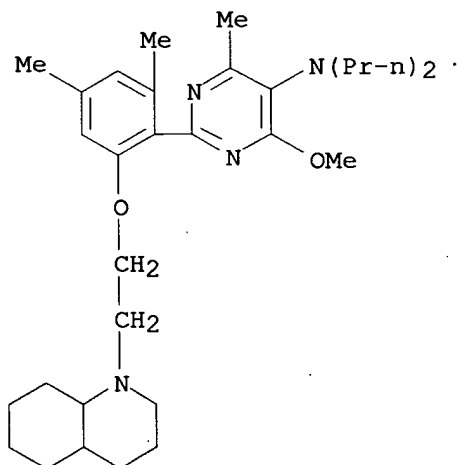
CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-[methyl(phenylmethyl)amino]ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

09/ 811,359



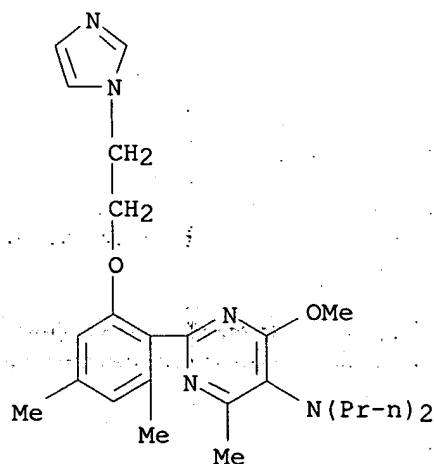
RN 360576-98-3 HCAPLUS

CN 5-Pyrimidinamine, 2-[2,4-dimethyl-6-[2-(octahydro-1(2H)-quinolinyl)ethoxy]phenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360576-99-4 HCAPLUS

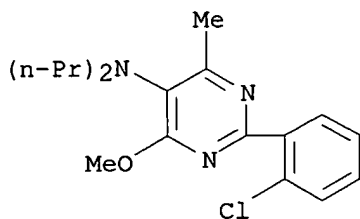
CN 5-Pyrimidinamine, 2-[2-[2-(1H-imidazol-1-yl)ethoxy]-4,6-dimethylphenyl]-4-methoxy-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360577-00-0 HCAPLUS

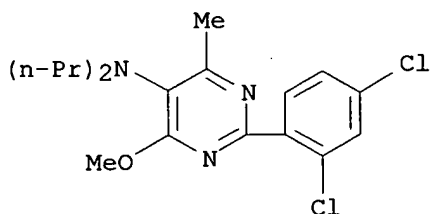
09/ 811,359

CN 5-Pyrimidinamine, 2-(2-chlorophenyl)-4-methoxy-6-methyl-N,N-dipropyl-
(9CI) (CA INDEX NAME)



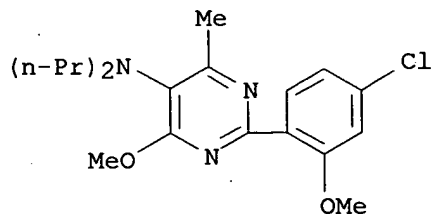
RN 360577-01-1 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,4-dichlorophenyl)-4-methoxy-6-methyl-N,N-dipropyl-
(9CI) (CA INDEX NAME)



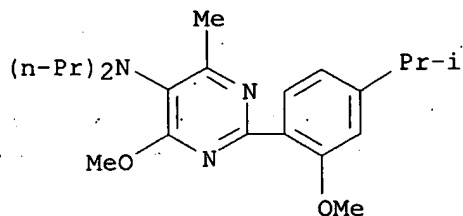
RN 360577-02-2 HCAPLUS

CN 5-Pyrimidinamine, 2-(4-chloro-2-methoxyphenyl)-4-methoxy-6-methyl-N,N-
dipropyl- (9CI) (CA INDEX NAME)



RN 360577-03-3 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-2-[2-methoxy-4-(1-methylethyl)phenyl]-6-methyl-
N,N-dipropyl- (9CI) (CA INDEX NAME)

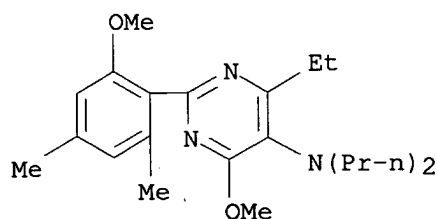


RN 360577-04-4 HCAPLUS

CN 5-Pyrimidinamine, 4-ethyl-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-N,N-

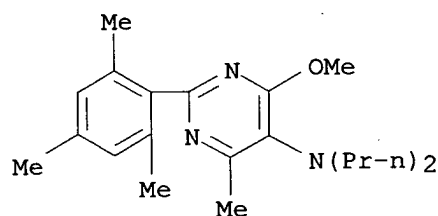
09/ 811,359

dipropyl- (9CI) (CA INDEX NAME)



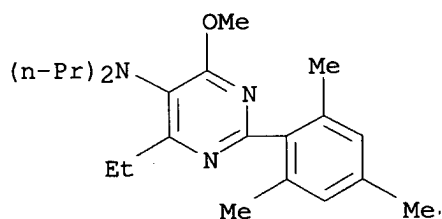
RN 360577-05-5 HCAPLUS

CN 5-Pyrimidinamine, 4-methoxy-6-methyl-N,N-dipropyl-2-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



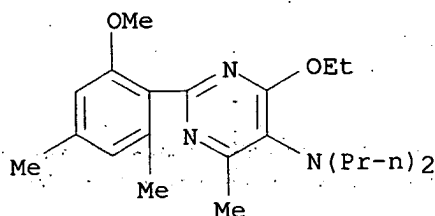
RN 360577-06-6 HCAPLUS

CN 5-Pyrimidinamine, 4-ethyl-6-methoxy-N,N-dipropyl-2-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)



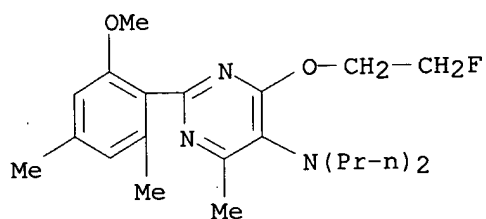
RN 360577-07-7 HCAPLUS

CN 5-Pyrimidinamine, 4-ethoxy-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

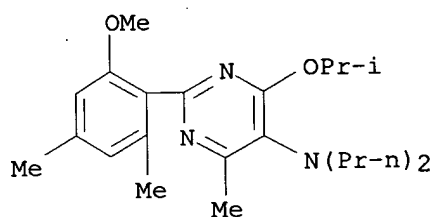


RN 360577-08-8 HCAPLUS

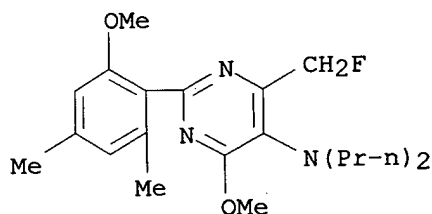
CN 5-Pyrimidinamine, 4-(2-fluoroethoxy)-2-(2-methoxy-4,6-dimethylphenyl)-6-methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)



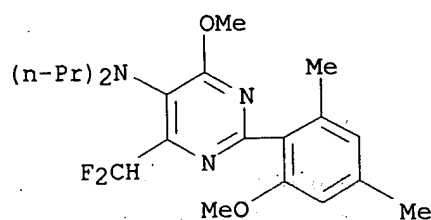
RN 360577-09-9 HCAPLUS
 CN 5-Pyrimidinamine, 2-(2-methoxy-4,6-dimethylphenyl)-4-methyl-6-(1-methylethoxy)-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 360577-10-2 HCAPLUS
 CN 5-Pyrimidinamine, 4-(fluoromethyl)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

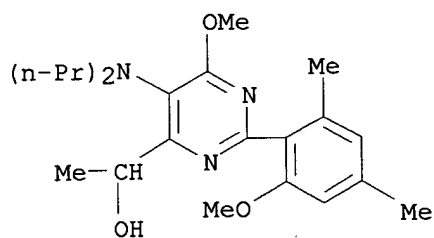


RN 360577-11-3 HCAPLUS
 CN 5-Pyrimidinamine, 4-(difluoromethyl)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



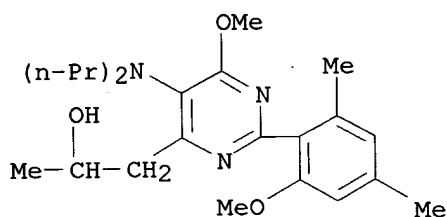
RN 360577-12-4 HCAPLUS
 CN 4-Pyrimidinemethanol, 5-(dipropylamino)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-alpha-methyl- (9CI) (CA INDEX NAME)

09/ 811,359



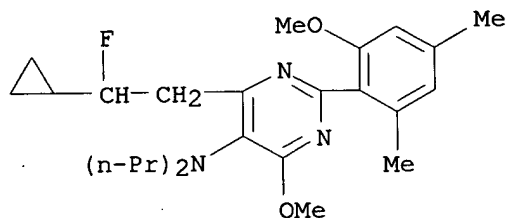
RN 360577-13-5 HCAPLUS

CN 4-Pyrimidineethanol, 5-(dipropylamino)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-α-methyl- (9CI) (CA INDEX NAME)



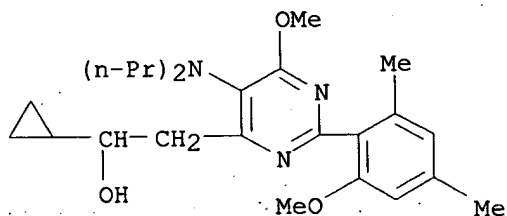
RN 360577-14-6 HCAPLUS

CN 5-Pyrimidinamine, 4-(2-cyclopropyl-2-fluoroethyl)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)



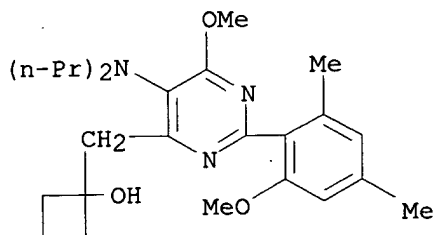
RN 360577-15-7 HCAPLUS

CN 4-Pyrimidineethanol, α-cyclopropyl-5-(dipropylamino)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



RN 360577-16-8 HCAPLUS

CN Cyclobutanol, 1-[[5-(dipropylamino)-6-methoxy-2-(2-methoxy-4,6-dimethylphenyl)-4-pyrimidinyl]methyl]- (9CI) (CA INDEX NAME)

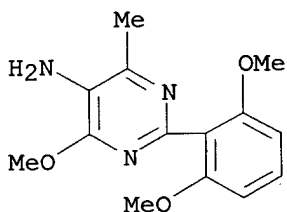


IT 360576-93-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of 5-substituted arylpyrimidines as selective
 modulators of CRF receptors)

RN 360576-93-8 HCAPLUS

CN 5-Pyrimidinamine, 2-(2,6-dimethoxyphenyl)-4-methoxy-6-methyl- (9CI) (CA
 INDEX NAME)



L4 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:417030 HCAPLUS

DOCUMENT NUMBER: 109:17030

TITLE: Saturated fatty acid amides as inhibitors of
 acyl-CoA:cholesterol acyltransferase

INVENTOR(S): Hoefle, Milton L.; Holmes, Ann; Roth, Bruce D.

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: U.S.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

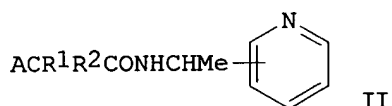
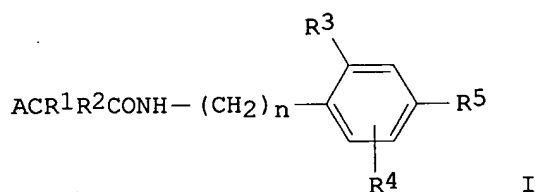
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4716175	A	19871229	US 1987-17960...	19870224
US 4743605	A	19880510	US 1987-103316	19871001
CA 1336913	C	19950905	CA 1988-557467	19880127
ZA 8800604	A	19890927	ZA 1988-604	19880128
AU 8811354	A	19880825	AU 1988-11354	19880205
AU 610558	B2	19910523		
FI 8800796	A	19880825	FI 1988-796	19880219
FI 89593	B	19930715		
FI 89593	C	19931025		
DK 8800941	A	19880825	DK 1988-941	19880223
DK 165406	B	19921123		
DK 165406	C	19930413		
NO 8800774	A	19880825	NO 1988-774	19880223
NO 174043	B	19931129		
NO 174043	C	19940309		

09/ 811,359

EP 283742	A2	19880928	EP 1988-102644	19880223
EP 283742	A3	19890726		
EP 283742	B1	19930526		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 63253060	A	19881020	JP 1988-38777	19880223
JP 07121895	B	19951225		
AT 89814	T	19930615	AT 1988-102644	19880223
ES 2056843	T3	19941016	ES 1988-102644	19880223
US 4716175	B1	19930622	US 1990-90002032	19900529
PRIORITY APPLN. INFO.:			US 1987-17960	A3 19870224
			EP 1988-102644	A 19880223
OTHER SOURCE(S):	CASREACT 109:17030; MARPAT 109:17030			
GI				



AB Title phenylalkyl amides I and pyridylalkyl amides II (A = C1-20 hydrocarbonyl containing 1-3 double bonds; R¹ = H, C1-4 alkyl, PhCH₂; R² = C1-4 alkyl, PhCH₂; CR¹R² = saturated C3-7 carbocyclic ring; R³-R⁵ = H, F, Cl, Br, CF₃, C1-4 alkyl, C1-4 alkoxy, n = 0, 1) are prepared, and are useful for inhibiting intestinal absorption of cholesterol. MeCH(CO₂Et)₂ was treated with NaOEt, and alkylated with 1-bromotetradecane, followed by hydrolysis to give 2-methyl-2-tetradecylmalonic acid, which was thermally decarboxylated to form 2-methylhexadecanoic acid. The latter compound was transformed into the acid chloride and treated with 2,4,6-trimethoxyphenylamine-HCl to form N-(2,4,6-trimethoxyphenyl)-2-methylhexadecanamide. 2,2-Dimethyl-N-(2,4,6-trimethoxyphenyl)dodecanamide (50 mg/kg) was administered orally 30 min prior to each meal to cholesterol-loaded rabbits fed a high cholesterol diet; after 7 days, there was a 67% decrease in serum cholesterol, compared to cholesterol-loaded nontreated controls.

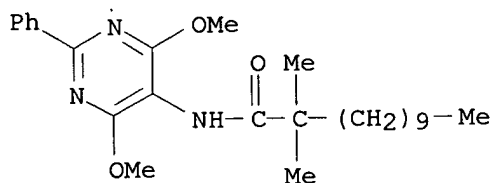
IT 114289-67-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, for inhibition of absorption of dietary cholesterol)

RN 114289-67-7 HCAPLUS

CN Dodecanamide, N-(4,6-dimethoxy-2-phenyl-5-pyrimidinyl)-2,2-dimethyl- (9CI)
(CA INDEX NAME)



L4 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:406360 HCAPLUS

DOCUMENT NUMBER: 103:6360

TITLE: N-(2-Nitrophenyl)-5-aminopyrimidine derivatives and their use

INVENTOR(S): Zondler, Helmut; Hubele, Adolf; Nyfeler, Robert

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 92 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

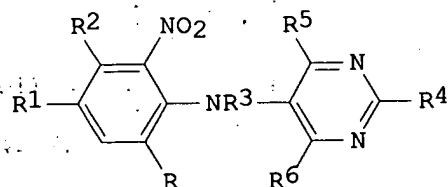
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 132826	A1	19850213	EP 1984-108700	19840723
EP 132826	B1	19880928		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4840662	A	19890620	US 1984-631272	19840716
IL 72478	A	19871220	IL 1984-72478	19840720
CA 1218370	A1	19870224	CA 1984-459440	19840723
AT 37540	T	19881015	AT 1984-108700	19840723
DK 8403620	A	19850126	DK 1984-3620	19840724
AU 8430998	A	19850214	AU 1984-30998	19840724
AU 577053	B2	19880915		
ZA 8405703	A	19850327	ZA 1984-5703	19840724
BR 8403677	A	19850702	BR 1984-3677	19840724
ES 534577	A1	19850716	ES 1984-534577	19840724
JP 60051178	A	19850322	JP 1984-155129	19840725
PRIORITY APPLN. INFO.:			CH 1983-4047	A 19830725
			EP 1984-108700	A 19840723

OTHER SOURCE(S): MARPAT 103:6360

GI



AB Seventy-four title compds. I [R, R1 = H, NO2, CF3; R2 = H, halo; R3 = H, COR7 [R7 = (un)substituted alkyl]; R4 = H, halo, NO2, cyano, SH, thiocyanato, alkyl, haloalkyl, substituted cycloalkoxy, alkenyloxy, alkynyloxy, alkylthio, alkenylthio, alkylsulfonyl, alkylsulfinyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, dialkylamino, (un)substituted alkoxy,

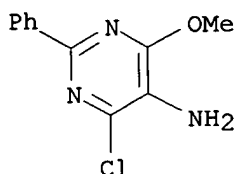
Ph, PhCH₂; R₅, R₆ = H, halo, NO₂, cyano, SH, thiocyanato, alkyl, haloalkyl, (un)substituted alkoxy, substituted cycloalkoxy, alkenyloxy, alkynyloxy, alkylthio, alkenylthio, alkylsulfonyl, alkylsulfinyl, alkenyl, alkenylthio, alkylsulfonyl, alkylsulfinyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, dialkylamino] were prepared. Thus, 2.84 g 5-amino-4-chloro-6-methoxypyrimidine in 20 mL DMSO at 15° was treated dropwise with 6.24 g 1,3-dichloro-2,6-dinitro-4-(trifluoromethyl)benzene and 2.30 g KOtBu in 15 mL DMSO to give 2.52 g I (R = NO₂, R₁ = CF₃, R₂ = R₅ = Cl, R₃ = R₄ = H, R₆ = OMe), which at 0.02% inhibited Puccinia graminis on wheat by 95-100%.

IT 96833-44-2

RL: RCT (Reactant); RACT (Reactant or reagent)
(amination by, of chlorobenzene derivative)

RN 96833-44-2 HCAPLUS

CN 5-Pyrimidinamine, 4-chloro-6-methoxy-2-phenyl- (9CI) (CA INDEX NAME)

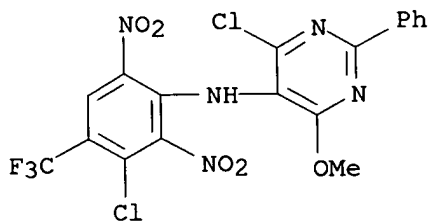


IT 96834-38-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and fungicidal activity of)

RN 96834-38-7 HCAPLUS

CN 5-Pyrimidinamine, 4-chloro-N-[3-chloro-2,6-dinitro-4-(trifluoromethyl)phenyl]-6-methoxy-2-phenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:639453 HCAPLUS

DOCUMENT NUMBER: 93:239453

TITLE: Substituted 5-(2-imidazolin-2-yl)-aminopyrimidines

PATENT ASSIGNEE(S): Beiersdorf A.-G., Fed. Rep. Ger.

SOURCE: Neth. Appl., 18 pp.

CODEN: NAXXAN

DOCUMENT TYPE: Patent

LANGUAGE: Dutch

FAMILY ACC. NUM. COUNT: 2

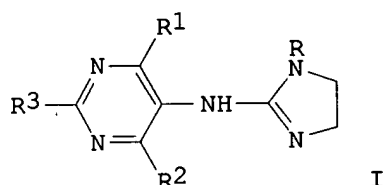
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7908192	A	19800519	NL 1979-8192	19791108

09/ 811,359

NL 190553	B	19931116		
NL 190553	C	19940418		
DE 2849537	A1	19800522	DE 1978-2849537	19781115
DE 2849537	C2	19830317		
DE 2937023	A1	19810402	DE 1979-2937023	19790913
US 4434167	A	19840228	US 1981-257444	19810424
PRIORITY APPLN. INFO.:			DE 1978-2849537	A 19781115
			DE 1979-2937023	A 19790913
			US 1979-92134	A3 19791107

OTHER SOURCE(S): CASREACT 93:239453
GI

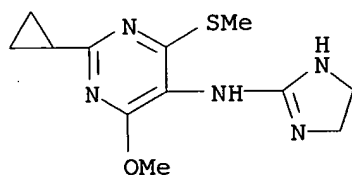


AB Imidazolinylaminopyrimidines I (R = H, acyl; R1 - R3 = H, halogen, alkoxy, alkylthio, alkyl, cycloalkyl) were prepared for use in treating hypertension and glaucoma (no data). Thus 5-amino-4,6-dichloro-2-methylpyrimidine was treated with 1-acetyl-2-imidazolin-2-one to give I (R = Ac, R1 = R2 = Cl, R3 = Me) which was treated with MeSNa to give I (R = H, R1 = SMe, R2 = Cl, R3 = Me). Treatment of the latter compound with NaOMe gave I (R = H, R1 = SMe, R2 = OMe, R3 = Me).

IT 75438-69-6P 75438-72-1P 75438-73-2P
75438-74-3P 75438-75-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

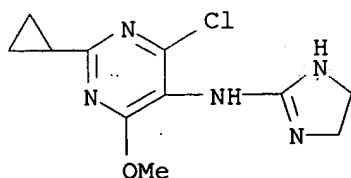
RN 75438-69-6 HCAPLUS

CN 5-Pyrimidinamine, 2-cyclopropyl-N-(4,5-dihydro-1H-imidazol-2-yl)-4-methoxy-6-(methylthio)- (9CI) (CA INDEX NAME)



RN 75438-72-1 HCAPLUS

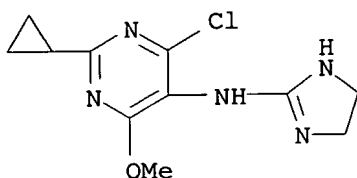
CN 5-Pyrimidinamine, 4-chloro-2-cyclopropyl-N-(4,5-dihydro-1H-imidazol-2-yl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 75438-73-2 HCAPLUS

09/ 811,359

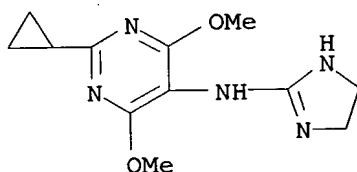
CN 5-Pyrimidinamine, 4-chloro-2-cyclopropyl-N-(4,5-dihydro-1H-imidazol-2-yl)-6-methoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

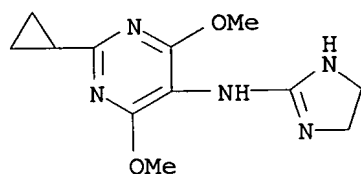
RN 75438-74-3 HCAPLUS

CN 5-Pyrimidinamine, 2-cyclopropyl-N-(4,5-dihydro-1H-imidazol-2-yl)-4,6-dimethoxy- (9CI) (CA INDEX NAME)



RN 75438-75-4 HCAPLUS

CN 5-Pyrimidinamine, 2-cyclopropyl-N-(4,5-dihydro-1H-imidazol-2-yl)-4,6-dimethoxy-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

=> d his

(FILE 'HOME' ENTERED AT 10:49:54 ON 26 FEB 2007)

FILE 'REGISTRY' ENTERED AT 10:50:04 ON 26 FEB 2007

L1 STRUCTURE UPLOADED

L2 8 S L1 SAMPLE

L3 124 S L1 FUL

FILE 'HCAPLUS' ENTERED AT 10:50:57 ON 26 FEB 2007

L4 8 S L3

=> log y

09/ 811,359

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

44.76

217.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.24

-6.24

STN INTERNATIONAL LOGOFF AT 10:51:28 ON 26 FEB 2007